

## SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Ben Sackey Examiner #: 73489 Date: 9/15/03  
 Art Unit: 1624 Phone Number 305-6889 Serial Number: 10/066,801  
 Mail Box and Bldg. Room Location: C471 3619 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

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Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: \_\_\_\_\_

Inventors (please provide full names): \_\_\_\_\_

Earliest Priority Filing Date: \_\_\_\_\_

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Search selected entries in  
Drug Data reports (file 452)

STAFF USE ONLY		Type of Search	Vendors and cost where applicable
Searcher	<u>D. Schreiber</u>	NA Sequence (#)	STN <u>186,80</u>
Searcher Phone #	<u>308-4292</u>	AA Sequence (#)	Dialog
Searcher Location	<u>Can CPO3</u>	Structure (#)	Questel Orbit
Date Searcher Entered	<u>9/16</u>	Bibliographic	Orbitex
Date Imputed	<u>9/16</u>	Litigation	Lexis/Nexis
Searcher Prep & Ref. on Time	<u>14</u>	Fulltext	Sequence Systems
Editor Prep Time	<u>118</u>	Patent Family	WWW Internet
Editor Time		Other	Other Specify

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3/14/4

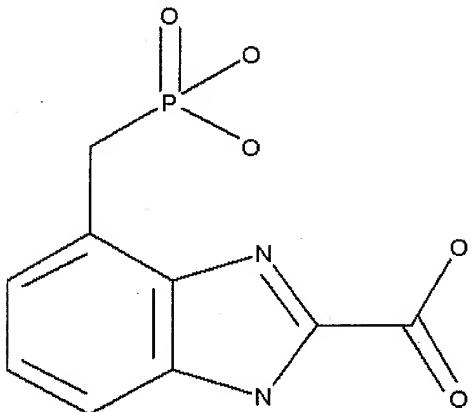
DIALOG(R) File 452:Drug Data Report  
(c) 2003 Prous Science. All rts. reserv.

AZ - 00198235

AA - 198235 (Preferred)

MF - C9H9N2O5P

CN - 4-( Phosphonomethyl )-1H- benzimidazole -2- carboxylic acid



ST - Biological Testing

OR - Pharmacia

TC - 12452 (Stroke, Treatment of)

12455 (NMDA Antagonists)

RE - 200776 (secondary)

200777 (secondary)

200778 (secondary)

200779 (secondary)

200780 (secondary)

200781 (secondary)

200782 (secondary)

200783 (secondary)

200784 (secondary)

200785 (secondary)

200786 (secondary)

200787 (secondary)

200788 (secondary)

200789 (secondary)

TX - ACTION: Agent for the treatment of neurotoxic injury associated with anoxia or ischemia following stroke, cardiac arrest or perinatal asphyxia; an NMDA receptor antagonist with a Ki = 1.6 mcM in the (3H)-glutamate binding assay, whereas Ki was > 100 mcM when using (3H)-kainate as the ligand. Significant in vivo antiischemic activity was demonstrated in a gerbil forebrain ischemia assay when given intraperitoneally at doses of 300 and 500 mg/kg, 30 min prior to carotid occlusion. Compound also exhibited anticonvulsant activity, as demonstrated by inhibiting electroconvulsive shock in mice and by protecting against motor function impairment at a dose of 56 mg/kg s.c. A representative compound from a wide series of specifically claimed diacid-containing benzimidazole derivatives, wherein the following are included: 200776, 200777, 200778, 200779, 200780, 200781, 200782, 200783,

200784, 200785, 200786, 200787, 200788, 200789. (Drug Data Report, Vol. 15, No. 10, p. 907, 1993)

PU - Drug Data Report, Vol. 15, No. 10, p. 907, 1993

PI - DIACID-CONTAINING BENZIMIDAZOLE COMPOUNDS FOR TREATMENT OF NEUROTOXICINJURY

AUTHOR(s): Vazquez, M.L.

APPLICANT(s): Pharmacia

FAMILY: 5,216,003 [US 5216003] United States of America, June 1, 1993

PRIORITY: 2-816,207 [US 816207] United States of America, January 2, 1992

?e aa=315794

Ref	Items	Index-term
E1	1	AA=315792
E2	1	AA=315793
E3	1	*AA=315794
E4	1	AA=315795
E5	1	AA=315796
E6	1	AA=315803
E7	1	AA=315806
E8	1	AA=315807
E9	1	AA=315808
E10	1	AA=315809
E11	1	AA=315810
E12	1	AA=315811

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S4 1 AA=315794

?t 4/14/1

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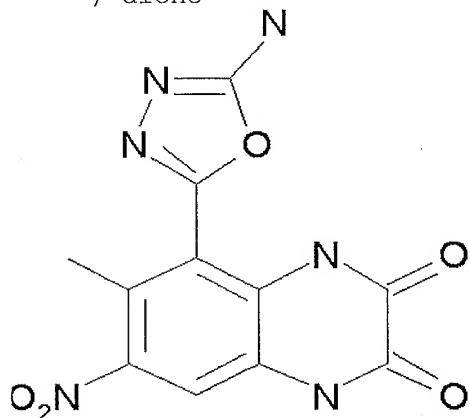
(c) 2003 Prous Science. All rts. reserv.

AZ - 00315794

AA - 315794 (Preferred)

MF - C11H8N6O5

CN - 5-(5-Amino-1,3,4-oxadiazol-2-yl)-6-methyl-7-nitroquinoxaline-2,3(1H,4H)-dione



ST - Biological Testing

OR - Pfizer

TC - 9200 (Cognition Disorders, Treatment of)

33456 (Ischemic Stroke, Treatment of)

12457 (AMPA Antagonists)

RE - 315795 (secondary)

TX - ACTION: Glutamate antagonist with in vitro activity against AMPA receptors and the glycine site of NMDA receptors. Potentially useful for the treatment of cerebral ischemia, chronic neurodegenerative disorders including Alzheimer's disease, Parkinson's disease and Huntington's disease, seizure disorders, schizophrenia, anxiety, pain and drug abuse. Another exemplified quinoxaline-2,3-dione derivative is: 315795. (Drug Data Report, Vol. 24, No. 4, p. 313, 2002)

PU - Drug Data Report, Vol. 24, No. 4, p. 313, 2002

PI - CONFORMATIONALLY SEMI-CONSTRAINED QUINOXALINE 2,3-DIONES

ASNEUROPROTECTIVE AGENTS

AUTHOR(s): Rafferty, M.F., Kornberg, B.E., Nikam, S.S.

APPLICANT(s): Pfizer

FAMILY: 6,340,758 [US 6340758] United States of America, January 22, 2002

PRIORITY: 2-46,626 [US 46626] United States of America, May 16, 1997

2-25,295 [US 25295] United States of America, February 13, 1998

2-199,627 [US 199627] United States of America, November 25, 1998

?s aa=225249

S5 1 AA=225249

?t 5/14/1

5/14/1

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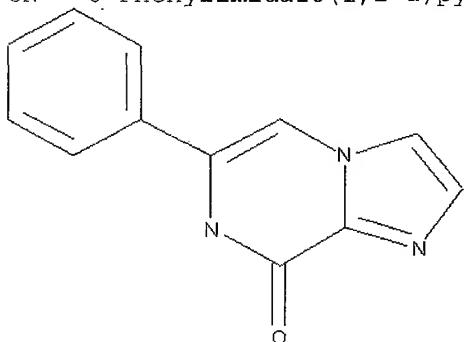
(c) 2003 Prous Science. All rts. reserv.

AZ - 00225249

AA - 225249 (Preferred)

MF - C<sub>12</sub>H<sub>9</sub>N<sub>3</sub>O

CN - 6-Phenylimidazo(1,2-a)pyrazin-8(7H)-one



ST - Biological Testing

OR - Aventis Pharma

TC - 9200 (Cognition Disorders, Treatment of)

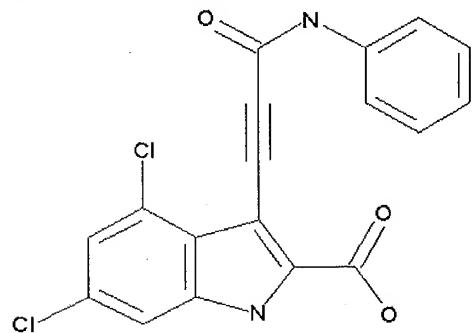
11100 (Antiparkinsonian Drugs)

12452 (Stroke, Treatment of)

12455 (NMDA Antagonists)  
 12457 (AMPA Antagonists)  
 RE - 227609 (secondary)  
 227610 (secondary)  
 227611 (secondary)  
 227612 (secondary)  
 TX - ACTION: Noncompetitive antagonist at the glycine site of the NMDA receptor, potentially useful for the treatment and prophylaxis of cerebral ischemic/anoxic disorders, and for the treatment of neurodegenerative disorders such as parkinsonism and Alzheimer's disease, as well as epilepsy, schizophrenia and migraine. Other exemplified imidazopyrazinones include the following: 227609, 227610, 227611, 227612.  
 (Drug Data Report, Vol. 17, No. 11, p. 989, 1995)  
 PU - Drug Data Report, Vol. 17, No. 11, p. 989, 1995  
 PI - 7H-IMIDAZO(1,2-A)PYRAZINE-8-ONE NMDA RECEPTOR ANTAGONISTS  
 AUTHOR(s): Aloup, J.-C., Mignani, S., Jimonet, P., Audiau, F., Damour, D., Genevois-Borella, A.  
 APPLICANT(s): Aventis Pharma  
 FAMILY: 0726900 [EP 0726900] European Patent Office, August 21, 1996  
 6-97504539 [JP 697504539] Japan, May 6, 1997  
 WO95-12594 [WO 9512594] W.I.P.O., May 11, 1995  
 PRIORITY: 13164 [FR 9313164] France, November 5, 1993  
 ?s aa=240624  
 S6 1 AA=240624  
 ?t 6/14/1

6/14/1  
 DIALOG(R) File 452: Drug Data Report  
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AZ - 00240624  
 AA - 240624 (Preferred)  
 MF - C18H10CL2N2O3  
 CN - 4,6-Dichloro-3-(N-phenylcarbamoylethyynyl)-1H-indole-2-carboxylic acid  
 RN - 153436-32-9



ST - Preclinical  
 OR - GlaxoSmithKline  
 TC - 12452 (Stroke, Treatment of)  
 12455 (NMDA Antagonists)  
 TX - ACTION: An NMDA antagonist acting at the strychnine-insensitive glycine binding site and structurally related to GV-150526, for use in the treatment of CNS disorders such as stroke, Huntington's disease, Alzheimer's disease and neurotrauma. Its affinity (pKi

=7.7) is inferior to that of GV-150526 ( $pKi = 8.5$ ), but it displayed good in vivo activity in mice against NMDA-induced convulsions ( $ED50 = 0.2$  mg/kg i.v.;  $ED50$  GV-150526 = 0.06 mg/kg i.v.). (Drug Data Report, Vol. 18, No. 11, p. 966, 1996)

PU - Drug Data Report, Vol. 18, No. 11, p. 966, 1996

PI - INDOLE ANTAGONISTS OF EXCITATORY AMINO ACIDS

AUTHOR(s): Gaviraghi, G., Cugola, A.

APPLICANT(s): GlaxoSmithKline

FAMILY: 1006343 [BE 1006343] Belgium, July 26, 1994  
685630 [CH 685630] Switzerland, August 31, 1995  
0568136 [EP 0568136] European Patent Office, November 3, 1993

2105924 [ES 2105924] Spain, October 16, 1997  
2690919 [FR 2690919] France, November 12, 1993  
2266091 [GB 2266091] Great Britain, October 20, 1993

6-94049027 [JP 694049027] Japan, February 22, 1994  
5,373,018 [US 5373018] United States of America, December 13, 1994  
5,374,648 [US 5374648] United States of America, December 20, 1994  
5,374,649 [US 5374649] United States of America, December 20, 1994

WO93-21153 [WO 9321153] W.I.P.O., October 28, 1993

PRIORITY: 928492 [GB 928492] Great Britain, April 16, 1992

RF - Di Fabio, R. et al., "3-Alkynyl-2-carboxyindoles as a novel class of antagonists acting at the strychnine-insensitive glycine binding site", 14th Int Symp Med Chem (Sept 8-12, Maastricht) 1996, Abst P-6.17

?s aa=257448

S7 1 AA=257448

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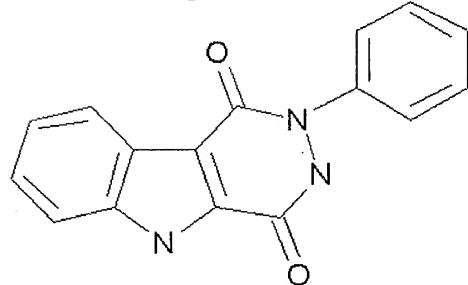
(c) 2003 Prous Science. All rts. reserv.

AZ - 00257448

AA - 257448 (Preferred)

MF - C16H11N3O2

CN - 2-Phenyl-2,3,4,5-tetrahydro-1H-pyridazino(4,5-b)indole-1,4-dione



ST - Biological Testing

OR - Merck Sharp & Dohme

TC - 9200 (Cognition Disorders, Treatment of)

10000 (Antiepileptic Drugs)

11100 (Antiparkinsonian Drugs)

33456 (Ischemic Stroke, Treatment of)  
12455 (NMDA Antagonists)

TX - ACTION: Selective and noncompetitive NMDA receptor antagonist that preferentially binds to the strychnine-insensitive glycine binding site associated with the NMDA receptor complex. Compound blocked the response to NMDA in rat cortex slices ( $K_b < 150$  mCM) and displaced  $(^3H)$ -L-689560 binding to the strychnine-insensitive site in rat forebrain membranes ( $IC_{50} < 50$  mCM). Potentially useful in the treatment or prevention of neurodegenerative disorders such as stroke, cerebral ischemia, epilepsy, Huntington's chorea, Alzheimer's disease, Parkinson's disease and anoxia. (Drug Data Report, Vol. 20, No. 2, p. 121, 1998).

PU - Drug Data Report, Vol. 20, No. 2, p. 121, 1998

PI - PYRIDAZINO-INDOLE DERIVATIVES

AUTHOR(s): Macleod, A.M., Ladduwahetty, T.

APPLICANT(s): Merck Sharp & Dohme

FAMILY: 5,693,640 [US 5693640] United States of America, December 2, 1997

PRIORITY: 9411955 [GB 9411955] Great Britain, June 15, 1994

?s phenylsulfanyl/cn

S8 300 PHENYLSULFANYL/CN

?s s8 and quinolin

300 S8

2069 QUINOLIN

S9 8 S8 AND QUINOLIN

?s s9 and chloro/cn

8 S9

9353 CHLORO/CN

S10 4 S9 AND CHLORO/CN

?t 10/k/1-4

10/K/1

DIALOG(R) File 452:(c) 2003 Prous Science. All rts. reserv.

CHEM NAME: 2-(3-(9-Chloro-4-oxo-3-(phenylsulfanyl)-4,5-dihydroisoxazolo(4,3-c)quinolin-5-yl)phenyl)-N-(3,4,5-trimethoxyphenyl)acetamide

10/K/2

DIALOG(R) File 452:(c) 2003 Prous Science. All rts. reserv.

CHEM NAME: 7-Chloro-4-hydroxy-3-(phenylsulfanyl)quinolin-2(1H)-one

10/K/3

DIALOG(R) File 452:(c) 2003 Prous Science. All rts. reserv.

CHEM NAME: 1-(4-Chloro-3-(phenylsulfanyl)quinolin-2-yl)-4-(2-naphthylmethyl)piperazine

10/K/4

DIALOG(R) File 452:(c) 2003 Prous Science. All rts. reserv.

CHEM NAME: N-(1-Benzylpiperidin-4-yl)-N-(4-chloro-3-(phenylsulfanyl)quinolin-2-yl)amine

?t 10/14/2

10/14/2

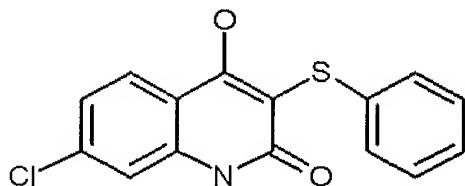
DIALOG(R) File 452:Drug Data Report  
(c) 2003 Prous Science. All rts. reserv.

AZ - 00269005

AA - 269005 (Preferred)

MF - C<sub>15</sub>H<sub>10</sub>ClNO<sub>2</sub>S

CN - 7-Chloro-4-hydroxy-3-(phenylsulfanyl)quinolin-2(1H)-one



ST - Biological Testing

OR - Korea Res. Inst. Chem. Technol.

TC - 12450 (Cerebrovascular Diseases, Treatment of)

12455 (NMDA Antagonists)

RE - 269006 (secondary)

269007 (secondary)

269008 (secondary)

269009 (secondary)

269010 (secondary)

269011 (secondary)

269012 (secondary)

269013 (secondary)

269014 (secondary)

269015 (secondary)

TX - ACTION: Potent and specific antagonist at the strychnine-insensitive glycine binding site on the NMDA receptor complex, reported to possess good CNS penetration and high solubility. Claimed for the treatment or prevention of ischemic, hypoxic or hypoglycemic CNS damage, neurodegenerative disorders such as Alzheimer's disease, Huntington's disease, Parkinson's disease, epilepsy and stroke, as well as for use as an anticonvulsant, analgesic, antidepressant, anxiolytic and antipsychotic agent. A representative compound from a series of quinolinic sulfide derivatives, wherein the following are also included: 269006, 269007, 269008, 269009, 269010, 269011, 269012, 269013, 269014, 269015. (Drug Data Report, Vol. 20, No. 11, p. 932, 1998)

PU - Drug Data Report, Vol. 20, No. 11, p. 932, 1998

PI - QUINOLINIC SULFIDE DERIVATIVES ACTING AS NMDA RECEPTOR ANTAGONISTS AND PROCESSES FOR PREPARATION THEREOF

AUTHOR(s): Kong, J.Y., Jung, Y.S., Lee, C.W., Choi, S.W., Park, N.S., Seong, C.M., Chon, J.I., Chung, Y.J., Park, W.K.

APPLICANT(s): Korea Res. Inst. Chem. Technol.

FAMILY: 0869122 [EP 0869122] European Patent Office, October 7, 1998

6-98310575 [JP 698310575] Japan, November 24, 1998

5,990,126 [US 5990126] United States of America,  
November 23, 1999

PRIORITY: 11958 [KR 9711958] N/A, March 31, 1997  
13818 [KR 9713818] N/A, April 15, 1997  
58546 [KR 9758546] N/A, November 6, 1997

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